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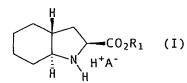
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(54) Title: A METHOD FOR THE PREPARATION OF (2S, 3AR, 7AS)-OCTAHYDRO-1H-INDOLE-2-CARBOXYLIC ACID AS KEY INTERMEDIATE IN THE PREPARATION OF TRANDOLAPRIL BY REACTING A CYCLOHEXYL AZIRIDINE WITH A DIALKYL MALONATE



(57) Abstract: A method for the synthesis of a compound of formula (I) as a mixture of enantiomers, formula (I) (wherein R_1 is H or an acid protective group and H⁺A⁻ indicates an optional acid with which the compound of formula (I) may form an ammonium salt) said method comprising; A) reacting a cyclohexyl aziridine with a dialkyl malonate, whereby to provide a trans-fused 3-alkylcarbonyl-octahydro-indol-2-one; B) decarbonylation at the 3-position, conversion of the ketone of the resulting trans-octahydro-indol-2-one to an optionally protected carboxylic acid group; and C) optionally removing any N-substitution

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if necessary.